

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
4 March 2004 (04.03.2004)

PCT

(10) International Publication Number
WO 2004/018434 A1

(51) International Patent Classification⁷: **C07D 239/42**,
401/12, A61K 31/505, 31/506, A61P 25/06

Peter [GB/GB]; GlaxoSmithKline, The Frythe, Welwyn,
Hertfordshire AL6 9AR (GB). **WHITTINGTON, An-**
drew, Richard [GB/GB]; GlaxoSmithKline, Gunnels
Wood Road, Stevenage, Hertfordshire SG1 2NY (GB).

(21) International Application Number:
PCT/EP2003/009221

(22) International Filing Date: 19 August 2003 (19.08.2003)

(74) Agent: **HOCKLEY, Sian, Catherine**; GlaxoSmithKline,
CIP (CN925.1), 980 Great West Road, Brentford, Middle-
sex TW8 9GS (GB).

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0219500.6 21 August 2002 (21.08.2002) GB
0316332.6 11 July 2003 (11.07.2003) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(71) Applicant (*for all designated States except US*): **GLAXO
GROUP LIMITED** [GB/GB]; Glaxo Wellcome House,
Berkeley Avenue, Greenford, Middlesex UB6 0NN (GB).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **EATHERTON,**
Andrew, John [GB/GB]; GlaxoSmithKline, The Fry-
the, Welwyn, Hertfordshire AL6 9AR (GB). **GIBLIN,**
Gerard, Martin, Paul [GB/GB]; GlaxoSmithKline,
The Frythe, Welwyn, Hertfordshire AL6 9AR (GB). **GREEN,**
Richard, Howard (Deceased) [GB/GB]; c/o
GlaxoSmithKline, Gunnels Wood Road, Stevenage,
Hertfordshire SG1 2NY (GB). **MITCHELL, William,**
Leonard [GB/GB]; GlaxoSmithKline, The Frythe, Wel-
wyn, Hertfordshire AL6 9AR (GB). **NAYLOR, Alan**
[GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Steve-
nage, Hertfordshire SG1 2NY (GB). **RAWLINGS, Derek,**
Anthony [GB/GB]; GlaxoSmithKline, The Frythe, Wel-
wyn, Hertfordshire AL6 9AR (GB). **SLINGSBY, Brian,**

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: PYRIMIDINE COMPOUNDS

(57) Abstract: The present invention relates to novel pyrimidine derivatives, pharmaceutical compositions containing these com-
pounds and their use in the treatment of diseases, particularly pain, which diseases are caused directly or indirectly by an increase or
decrease in activity of the cannabinoid receptor.

WO 2004/018434 A1

INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/09221

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D239/42 C07D401/12 A61K31/505 A61K31/506 A61P25/06

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97 09315 A (SIGNAL) 13 March 1997 (1997-03-13) claims 1-4, 34-37	1-4
P, X	WO 02 66036 A (BRISTOL-MYERS) 29 August 2002 (2002-08-29) page 1 -page 5; claims; examples 39, 42	1-4

☐ Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *&* document member of the same patent family

Date of the actual completion of the international search

17 December 2003

Date of mailing of the international search report

02/01/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2
NL - 2280 HV Rijswijk
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
Fax: (+31-70) 340-3016

Authorized officer

Francois, J

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/09221

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 5 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 03/09221

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9709315	A	13-03-1997	US 5811428 A	22-09-1998
			AU 726058 B2	26-10-2000
			AU 7013096 A	27-03-1997
			AU 726522 B2	09-11-2000
			AU 7163196 A	27-03-1997
			CA 2230894 A1	13-03-1997
			CA 2230896 A1	13-03-1997
			EP 0850228 A1	01-07-1998
			JP 11512390 T	26-10-1999
			JP 11512399 T	26-10-1999
			WO 9709325 A1	13-03-1997
			WO 9709315 A1	13-03-1997
			US 5935966 A	10-08-1999
<hr/>				
WO 0266036	A	29-08-2002	CA 2438231 A1	29-08-2002
			EP 1361879 A1	19-11-2003
			NO 20033683 A	17-10-2003
			WO 02066036 A1	29-08-2002
			US 2002183335 A1	05-12-2002
<hr/>				